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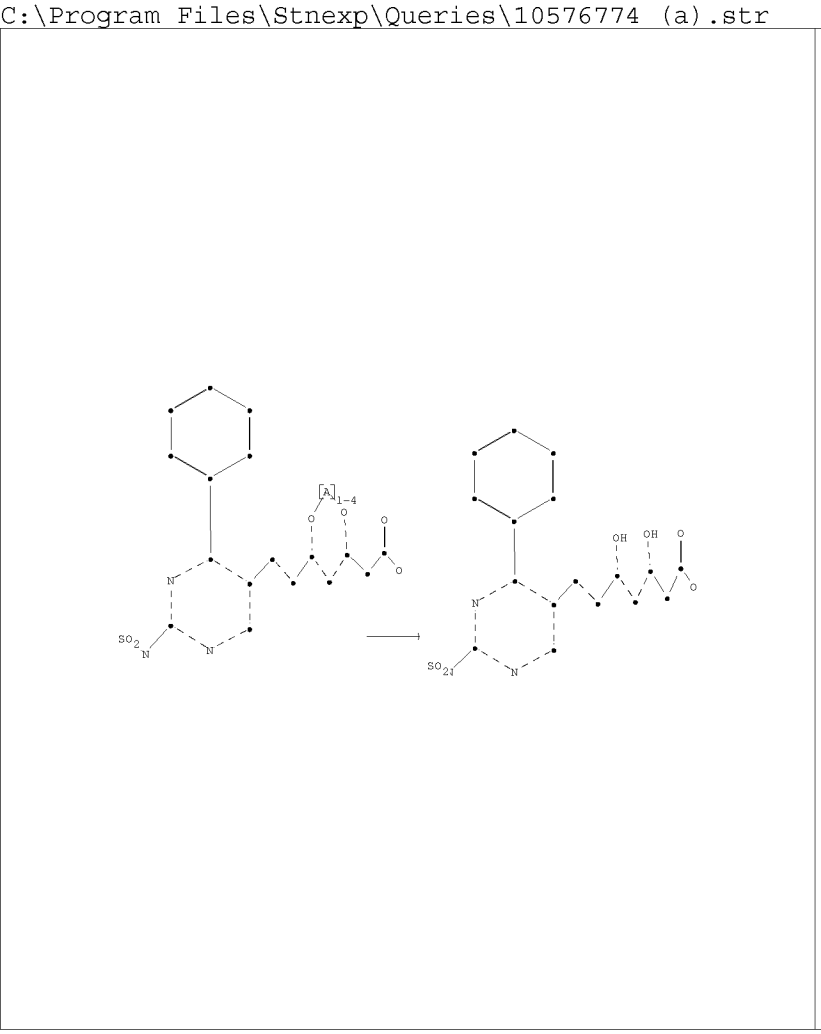

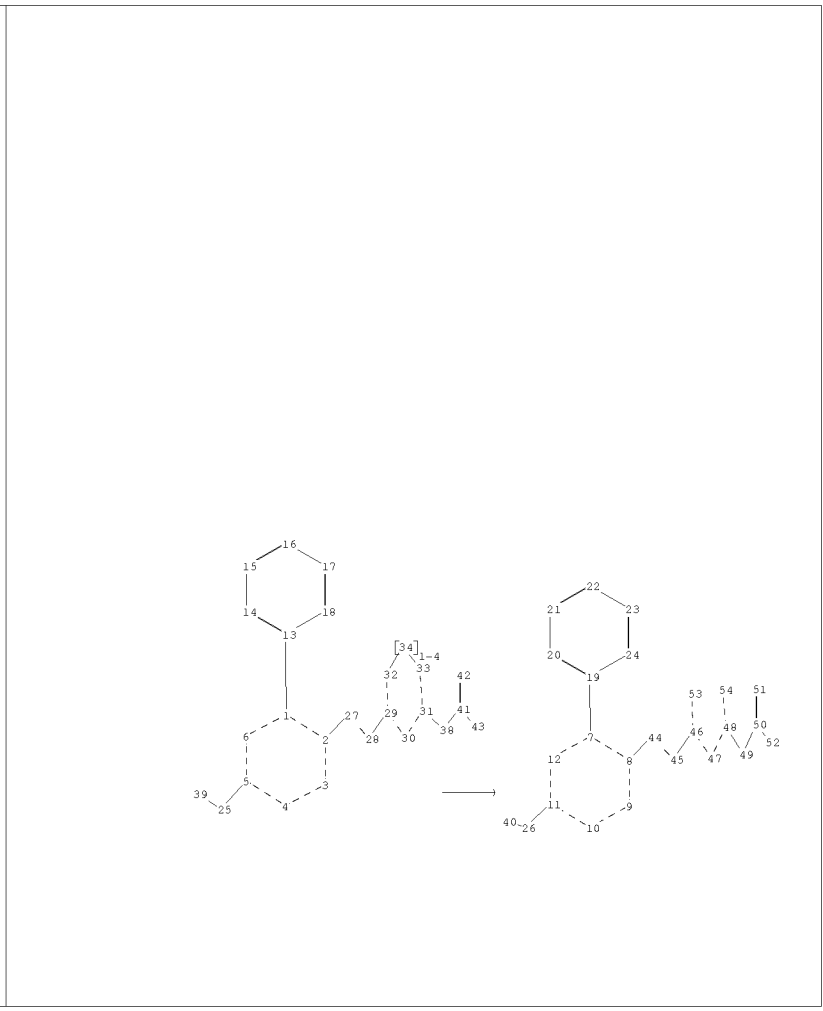

chain nodes :
25 26 27 28 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 29 30
31 32 33 34
chain bonds :
1-13 2-27 5-25 7-19 8-44 11-26 25-39 26-40 27-28 28-29 31-38 38-41 41-42 41-43
44-45 45-46 46-47 46-53 47-48 48-49 48-54 49-50 50-51 50-52
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16
16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 29-30 29-32 30-31 31-33 32-34
33-34
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-25 7-8 7-12 8-9 9-10 10-11 11-12 11-26 25-39 26-40
27-28 29-30 29-32 30-31 31-33 32-34 33-34 41-42 41-43 44-45 46-47 46-53 47-48
48-54 50-51 50-52
exact bonds :
1-13 2-27 7-19 8-44 28-29 31-38 38-41 45-46 48-49 49-50
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 7 : 13 : 19 : 29 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS
54:CLASS
fragments assigned product role:
containing 7
fragments assigned reactant/reagent role:
containing 1

=>
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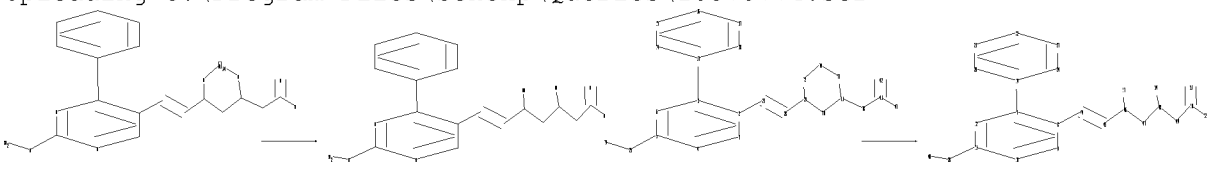

chain nodes :
25 26 27 28 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 29 30 31 32 33 34
chain bonds :
1-13 2-27 5-25 7-19 8-44 11-26 25-39 26-40 27-28 28-29 31-38 38-41
41-42 41-43 44-45 45-46 46-47 46-53 47-48 48-49 48-54 49-50 50-51 50-52
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 29-30 29-32
30-31 31-33 32-34 33-34
exact/norm bonds :
5-25 11-26 25-39 26-40 32-34 33-34 41-42 41-43 46-53 48-54 50-51 50-52
exact bonds :
1-13 2-27 7-19 8-44 27-28 28-29 29-30 29-32 30-31 31-33 31-38 38-41
44-45 45-46 46-47 47-48 48-49 49-50
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 7 : 13 : 19 : 29 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 38:CLASS 39:CLASS 40:CLASS
41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS
49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS
fragments assigned product role:
containing 7
fragments assigned reactant/reagent role:

containing 1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
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SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED VERIFICATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

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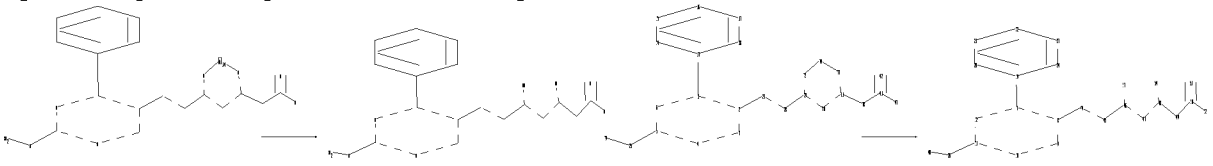

chain nodes :
25 26 27 28 38 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 29 30 31 32 33 34

```
chain bonds :
1-13  2-27  5-25  7-19  8-44  11-26  25-39  26-40  27-28  28-29  31-38  38-41
41-42  41-43  44-45  45-46  46-47  46-53  47-48  48-49  48-54  49-50  50-51  50-52
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  19-20  19-24  20-21  21-22  22-23  23-24  29-30  29-32
30-31  31-33  32-34  33-34
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-25  7-8  7-12  8-9  9-10  10-11  11-12  11-26
25-39  26-40  27-28  29-30  29-32  30-31  31-33  32-34  33-34  41-42  41-43  44-45
46-47  46-53  47-48  48-54  50-51  50-52
exact bonds :
1-13  2-27  7-19  8-44  28-29  31-38  38-41  45-46  48-49  49-50
normalized bonds :
13-14  13-18  14-15  15-16  16-17  17-18  19-20  19-24  20-21  21-22  22-23  23-24
isolated ring systems :
containing 1 : 7 : 13 : 19 : 29 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
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49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS  54:CLASS
fragments assigned product role:
containing 7
fragments assigned reactant/reagent role:
containing 1



L3      STRUCTURE UPLOADED

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L3 HAS NO ANSWERS
L3              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:          0 TO        0
PROJECTED ANSWERS:                0 TO        0

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SEARCH TIME: 00.00.01

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L5 ANSWER 1 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 152:238978 CASREACT
TI A chemical process for HMG-CoA reductase inhibitor and intermediates thereof
IN Dhar, Dwivedi Shriprakash; Ganpat, Holkar Anil; Jasubhai, Patel Dhimant; Rupapara, Mahesh L.; Patel, Mayur R.
PA Cadila Healthcare Limited, India
SO Indian Pat. Appl., 108pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2008MU00210	A	20091002	IN 2008-MU210	20080130
WO 2009157014	A2	20091230	WO 2009-IN65	20090128

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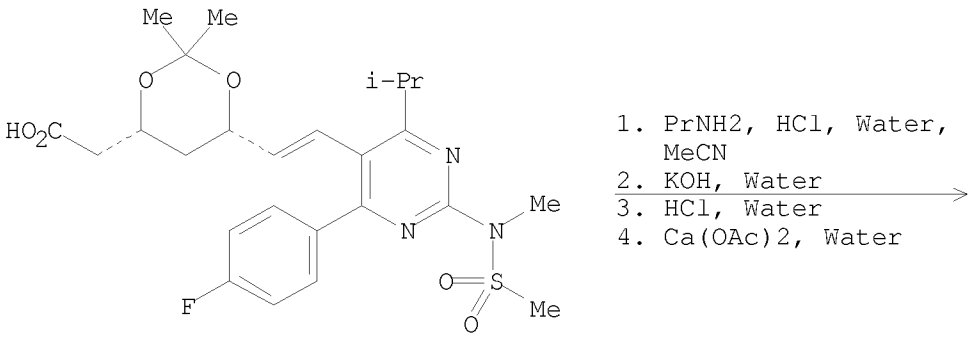
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI IN 2008-MU210 20080130

AB The invention relates to a chemical process for HMG-CoA reductase inhibitors and intermediates thereof. Particularly, the invention relates to an improved process for synthesizing calcium salt of (E)-7-[4-(4-fluorophenyl)-6-isopropyl-2- [methyl(methylsulfonyl)amino] pyrimidin-5-yl](3R,5S)-3,5-dihydroxy-6-heptenoic acid (I; rosuvastatin Calcium) in high purity. Compound I·1/2Ca was prepared by a cyclization of Me isobutyrylacetate with 4-fluorobenzaldehyde and urea; the resulting 4-(4-fluorophenyl)-6-isopropyl-5-methoxycarbonyl-3,4-dihydro-2(1H)-pyrimidinone underwent dehydration to give the corresponding 2-hydroxypyrimidine-5-carboxylic acid Me ester, which underwent reduction bromination to give the corresponding pyrimidine-5-Me bromide, which underwent addition of triphenylphosphine to give the phosphonium bromide derivative, which underwent olefination with tert-butyl-2-[(4R,6S)-6-formyl-2,2-dimethyl-1,3-dioxan-4-yl]acetate to give the alkenylpyrimidine derivative, which underwent amination, sulfonylation and hydrolysis to give compound I·1/2Ca.

RX(10) OF 55



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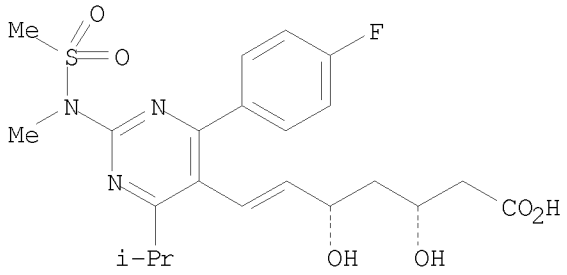
RX(10) OF 55



1/2 Ca

REF: Indian Pat. Appl., 2008MU00210, 02 Oct 2009
CON: STAGE(1) 25 - 35 deg C; 35 deg C -> 10 deg C; 2 hours, 20 - 25 deg C
STAGE(2) 10 - 15 deg C; 2 hours, 20 - 25 deg C
STAGE(3) pH 8 - 8.5
STAGE(4) 1 hour, 20 - 30 deg C

L5 ANSWER 2 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 150:423218 CASREACT
TI Process for preparation of rosuvastatin
IN Volk, Balazs; Vago, Pal; Simig, Gyula; Toempe, Peter; Barkoczy, Jozsef; Mezei, Tibor; Bartha, Ferenc; Ruzsics, Gyoergy; Karasz, Adrienn; Kiraly, Imre; Nagy, Kalman
PA Egis Gyogyszergyar Nyilvanosan Muekoedoe Reszvenytarsasag, Hung.
SO PCT Int. Appl., 42pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009047576	A1	20090416	WO 2008-HU121	20081013
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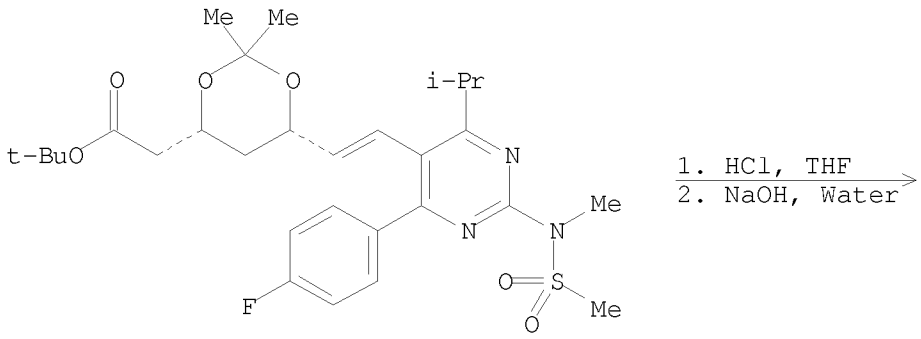
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2007000668	A2	20090528	HU 2007-668	20071012
PRAI HU 2007-668		20071012		

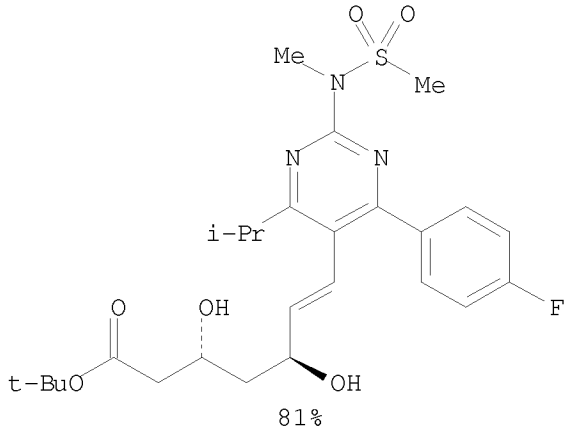
OS MARPAT 150:423218
AB The present invention pertains to improved processes for the preparation of rosuvastatin, i.e., (3R,5S,6E)-7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-6-heptenoic acid, and pharmaceutically acceptable salts thereof. For example, (4R,6S)-6-[(1E)-2-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]ethenyl]-2,2-dimethyl-1,3-dioxane-4-acetic acid 1,1-dimethylethyl ester was treated with sodium hydroxide in THF at room temperature with intense stirring, and the reaction mixture was refluxed for 8 h to obtain (4R,6S)-6-[(1E)-2-[4-(4-fluorophenyl)-6-(1-methylethyl)-2-[methyl(methylsulfonyl)amino]-5-pyrimidinyl]ethenyl]-2,2-dimethyl-1,3-dioxane-4-acetic acid after work-up. The intermediate obtained above was treated with 1 M hydrochloric acid solution in THF at 80 °C for 30 min to afford rosuvastatin, which may be transformed to sodium and/or zinc salt thereof.

RX(2) OF 6



(step 1)

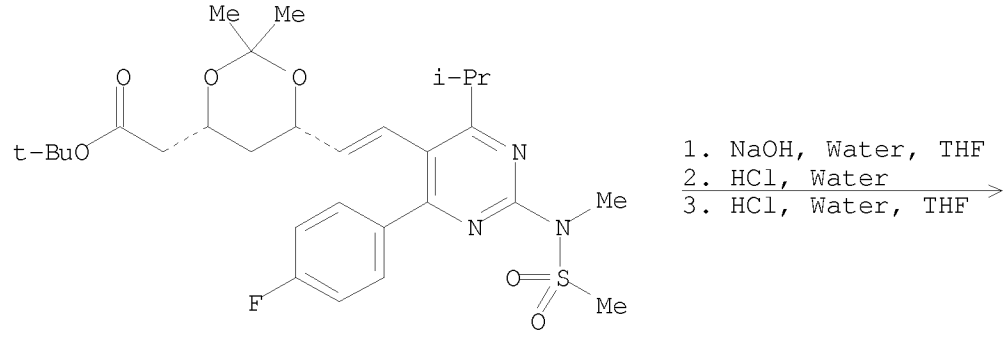
RX(2) OF 6



81%

REF: PCT Int. Appl., 2009047576, 16 Apr 2009
CON: STAGE(1) 30 minutes, room temperature
STAGE(2) >15 deg C, pH 6

RX(4) OF 6



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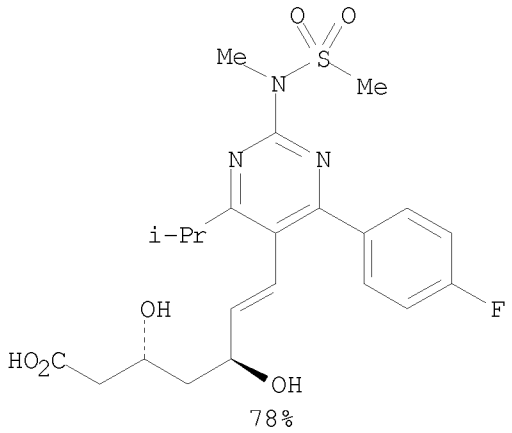
RX(4) OF 6



78%

REF: PCT Int. Appl., 42pp.; 2009
CON: STAGE(1) room temperature; 8 hours, reflux
STAGE(2) room temperature, acidify
STAGE(3) 30 minutes, 80 deg C

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 150:423216 CASREACT
TI Process for preparation of rosuvastatin zinc salt
IN Volk, Balazs; Vago, Pal; Simig, Gyula; Toempe, Peter; Barkoczy, Joszef; Mezei, Tibor; Bartha, Ferenc; Ruzsics, Gyoergy; Karasz, Adrienn; Kiraly, Imre; Nagy, Kalman
PA Egis Gyogyszergyar Nyilvanosan Muekoedoe Reszvenytarsasag, Hung.
SO PCT Int. Appl., 67pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009047577	A1	20090416	WO 2008-HU122	20081013
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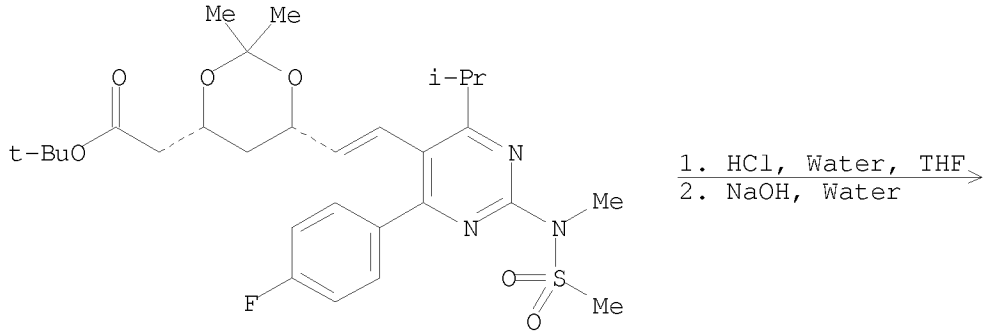
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2007000667	A2	20090528	HU 2007-667	20071012
PRAI HU 2007-667		20071012		

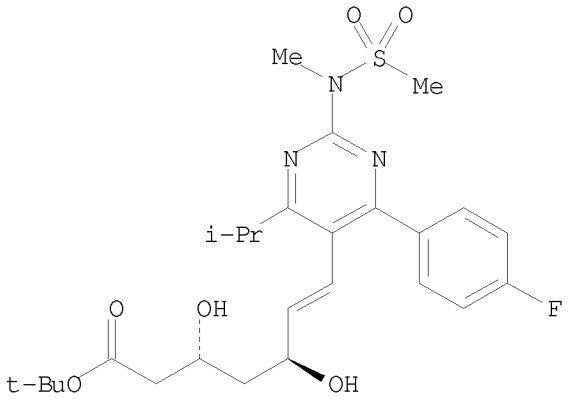
OS MARPAT 150:423216
AB A process for the preparation of (+)-7-[4-(4-fluorophenyl)-6-isopropyl-2-(methanesulfonylmethylamino)pyrimidin-5-yl]-(3R,5S)-dihydroxyhept-6-enoic acid zinc salt (2:1) (rosuvastatin zinc salt) (I) is disclosed. The process is demonstrated by preparing I by saponification of Et 7-[4-(4-fluorophenyl)-6-isopropyl-2-(methanesulfonylmethylamino)pyrimidin-5-yl]-(3R,5S)-dihydroxyhept-6-enoate to provide the carboxylic acid intermediate which reacts with zinc acetylacetonate monohydrate to form the zinc salt. A key advantage to the process is the ability to produce I, on an industrial scale in high purity.

RX(4) OF 13



(step 1)

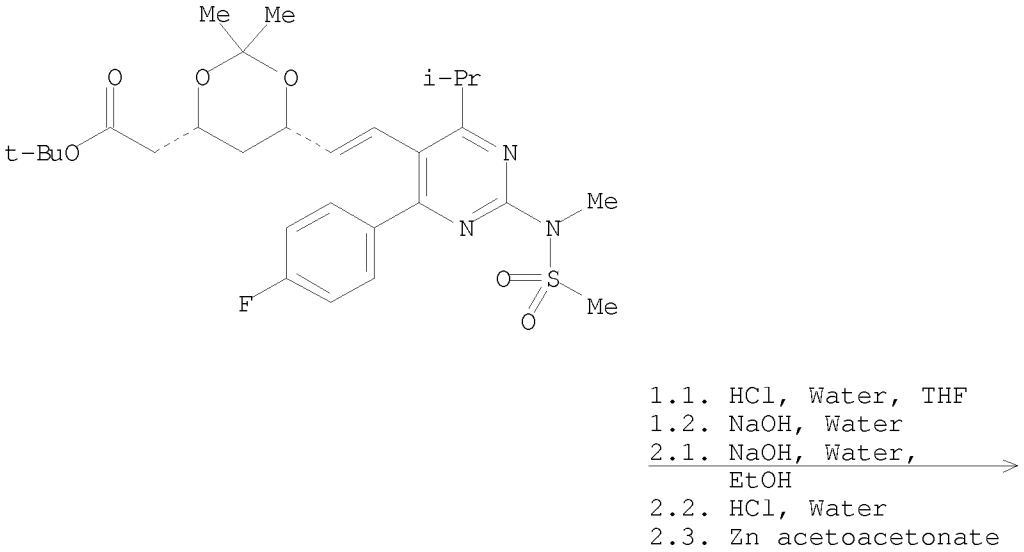
RX(4) OF 13



81%

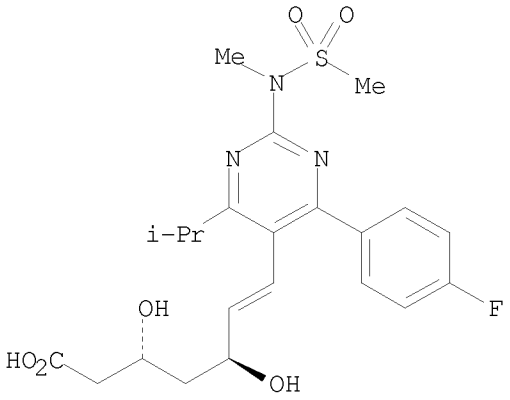
REF: PCT Int. Appl., 2009047577, 16 Apr 2009
CON: STAGE(1) room temperature; 30 minutes, room temperature; 2 hours, room temperature
STAGE(2) <15 deg C, pH 6

RX(10) OF 13 - 2 STEPS



1.1. HCl, Water, THF
1.2. NaOH, Water
2.1. NaOH, Water, EtOH
2.2. HCl, Water
2.3. Zn acetoacetonate

RX(10) OF 13 - 2 STEPS

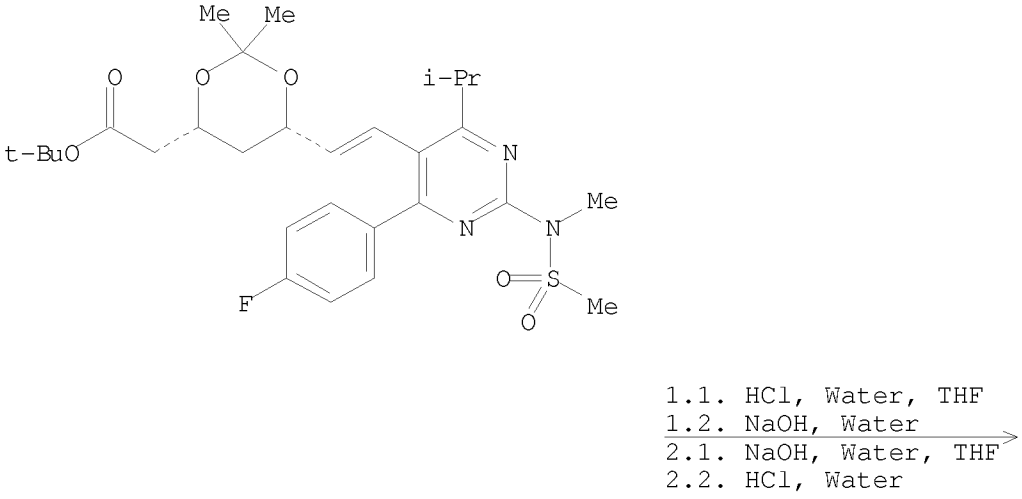


1/2 Zn
89%

REF: PCT Int. Appl., 67pp.; 2009

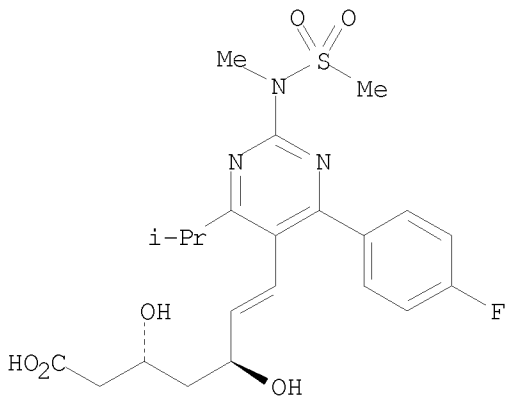
NOTE: 2) optimization study
CON: STEP(1.1) room temperature; 30 minutes, room temperature; 2 hours, room temperature
STEP(1.2) <15 deg C, pH 6
STEP(2.1) 20 minutes, <room temperature; 60 minutes, 60 deg C
STEP(2.2) 10 minutes, room temperature
STEP(2.3) 4 hours, room temperature

RX(11) OF 13 - 2 STEPS



1.1. HCl, Water, THF
1.2. NaOH, Water
2.1. NaOH, Water, THF
2.2. HCl, Water

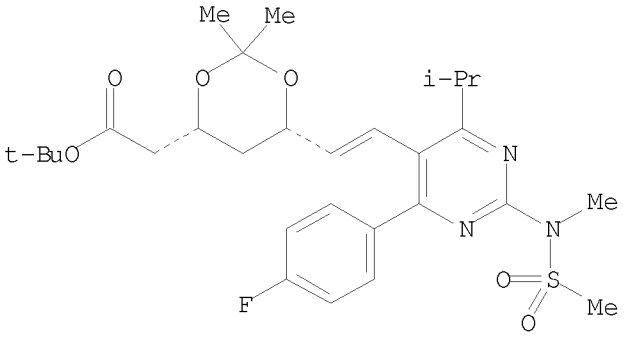
RX(11) OF 13 - 2 STEPS



78%

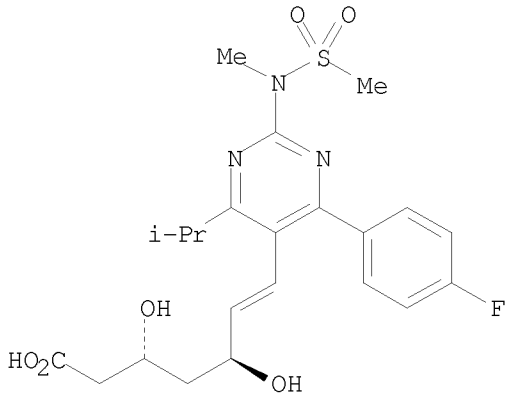
REF: PCT Int. Appl., 67pp.; 2009
CON: STEP(1.1) room temperature; 30 minutes, room temperature; 2 hours, room temperature
STEP(1.2) <15 deg C, pH 6
STEP(2.1) 8 hours, reflux
STEP(2.2) 30 minutes, 80 deg C

RX(13) OF 13 - 3 STEPS



1.1. HCl, Water, THF
1.2. NaOH, Water
2.1. NaOH, Water, THF
2.2. HCl, Water
3. Zn acetoacetonate

RX(13) OF 13 - 3 STEPS



1/2 Zn
91%

REF: PCT Int. Appl., 67pp.; 2009

CON: STEP(1.1) room temperature; 30 minutes, room temperature;
2 hours, room temperature
STEP(1.2) <15 deg C, pH 6
STEP(2.1) 8 hours, reflux
STEP(2.2) 30 minutes, 80 deg C
STEP(3) 8 hours, room temperature

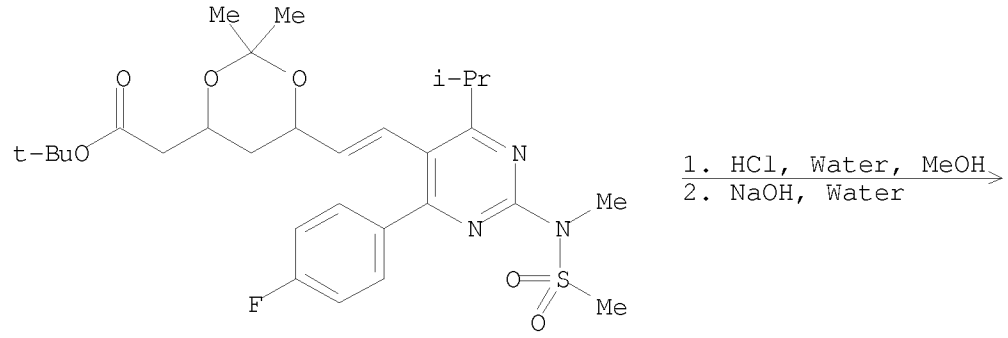
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 148:284938 CASREACT
TI Process for preparation of statins and novel intermediates thereof
AU Rafeeq, Mohammad; De, Shantanu; Sathyanarayana, Swargam
CS Ranbaxy Laboratories Limited, Haryana, 122001, India
SO IP.com Journal (2007), 7(2B), 8 (No. IPCOM000146174D), 6 Feb 2007
CODEN: IJPOBX; ISSN: 1533-0001
PB IP.com, Inc.
DT Journal; Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IP 146174D		20070206	IP 2007-146174D	20070206
PRAI IP 2007-146174D		20070206		

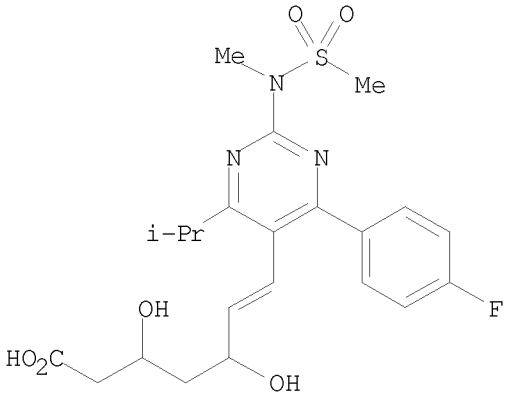
AB A novel process was disclosed for the preparation of statins and novel intermediates thereof. The present disclosure in particular provides a process for the preparation of rosuvastatin and fluvastatin using novel intermediates, such as I [R = CO2Et, CH2OH, CHO, CH(OH)CH2COCH2CO2CMe3, CH(OH)CH2CH(OH)CH2CO2CMe3].

RX(6) OF 21



(step 1)

RX(6) OF 21



Na

REF: IP.com Journal, 7(2B), 8; 2007
CON: STAGE(1) 4 hours, 20 - 25 deg C, pH 1
STAGE(2) 3 hours, 20 - 25 deg C, pH 13 - 13.5

L5 ANSWER 5 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 147:522015 CASREACT
TI Novel process for statins and its pharmaceutically acceptable salts thereof
IN Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai; Reddy, Maramreddy Sahadeva
PA Satyanarayana Reddy, Manne, India; Thirumalai Rajan, Srinivasan; Sahadeva Reddy, Maramreddy
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007125547	A2	20071108	WO 2007-IN172	20070430
	WO 2007125547	A9	20071221		
	WO 2007125547	A3	20080403		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

	IN 2006CH00805	A	20071221	IN 2006-CH805	20060503
	IN 2007CH00606	A	20081128	IN 2007-CH606	20070326
	EP 2024341	A2	20090218	EP 2007-736602	20070430

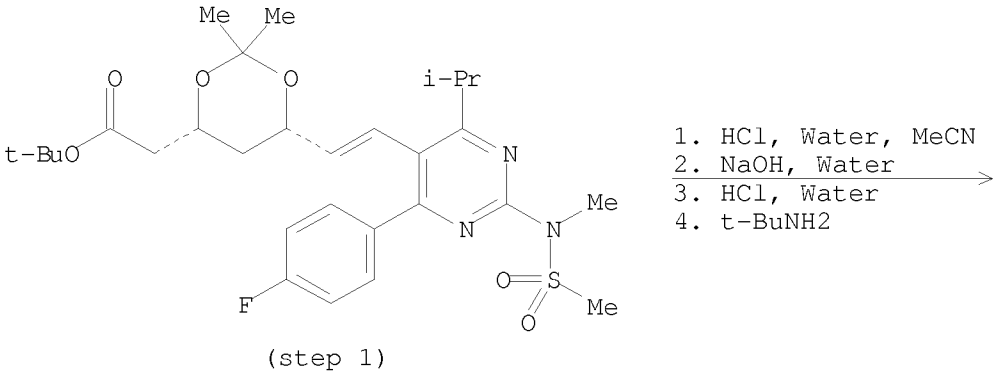
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

	US 20090275752	A1	20091105	US 2009-226932	20090220

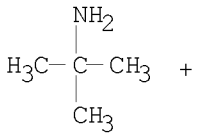
PRAI IN 2006-CH805 20060503
IN 2007-CH606 20070326
WO 2007-IN172 20070430
OS MARPAT 147:522015
AB A process was disclosed for the preparation of statins and their pharmaceutically acceptable salts, such as I [R = cyclic statin moiety, such as from rosuvastatin, fluvastatin, pitavastatin, etc.; R1 = OH, O-.M; M = Na+, K+, 1/2Mg2+, 1/2Ca2+]. Thus, rosuvastatin calcium II (R1 = O-.1/2Ca2+, R2 = R3 = H) was prepared starting from 5-(bromomethyl)-4-(4-fluorophenyl)-6-isopropyl-2-[methyl(methylsulfonyl)amino]pyrimidine, 5-difluoromethoxy-2-mercaptobenzimidazole, and 3,5-dideoxy-2,4-O-(1-methylethylidene)-erythro-hexuronic acid 1,1-dimethylethyl ester (III) via an olefinic coupling reaction of intermediate sulfone IV with ester III using cesium carbonate in DMSO to form diol-protected ester II (R1 = CMe3, R2R3 = CMe2), conversion of the protected ester rosuvastatin tert-butylamine salt II (R1 = O-.H3N+CMe3, R2 = R3 = H), and finally, preparation of the desired calcium salt by treatment of the tert-Bu amine salt with NaOH followed by treatment of the reaction mixture with CaCl2 and (MeCO2-)2Ca2+. The prepared statins and their salts

are therapeutically useful as HMG-CoA reductase inhibitors.

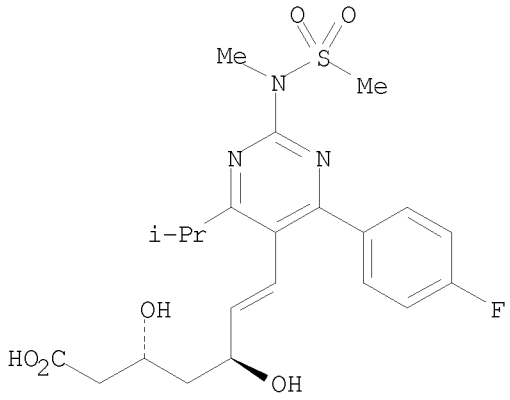
RX(8) OF 97



(step 1)



RX(8) OF 97



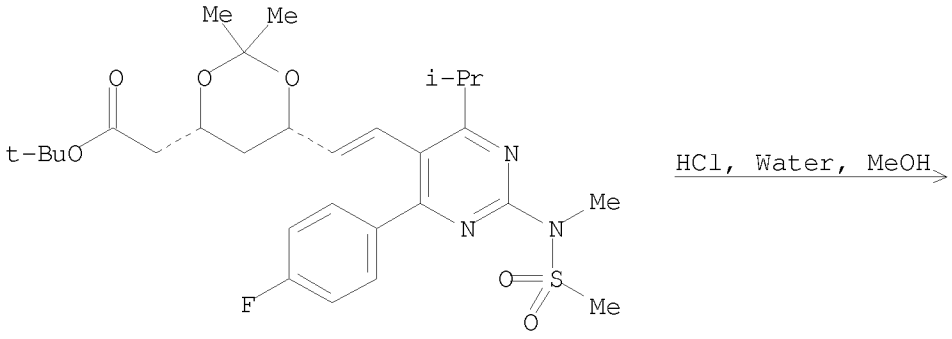
REF: PCT Int. Appl., 2007125547, 08 Nov 2007
CON: STAGE(1) 23 - 28 deg C; 23 - 28 deg C; 4 hours, 23 - 28 deg C
STAGE(2) 2 hours, 30 - 35 deg C
STAGE(3) pH 3.5 - 4.5
STAGE(4) 1 hour, 0 - 5 deg C

L5 ANSWER 6 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 147:365317 CASREACT
TI Process for preparing rosuvastatin calcium in amorphous form
IN Vakil, Manish H.; Patel, Dhimant J.; Rupapara, Mahesh L.; Bhimani, Girish H.; Sutariya, Prakash M.; Kumar, Agarwal Virendra
PA Cadila Healthcare Limited, India
SO Indian Pat. Appl., 13pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

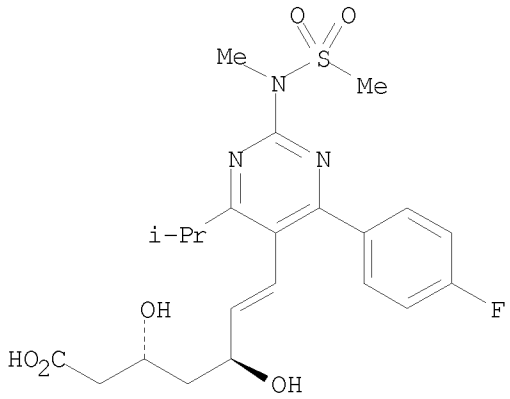
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IN 2004MU00459	A	20070427	IN 2004-MU459	20040415
PRAI IN 2004-MU459		20040415		

AB A one-pot process was disclosed for the preparation of the pharmaceutically useful rosuvastatin calcium I (R = CO2-.1/2Ca2+, R1 = R2 = H) in amorphous form. The process comprised hydrolysis of acetonide ester I (R = CO2CMe3, R1R2 = CMe2) with 1.0 N hydrochloric acid in aqueous methanol, conversion of the resulting diol acid I (R = CO2H, R1 = R2 = H) to corresponding sodium salt I (R = CO2-.Na+, R1 = R2 = H) using a suitable base and solvent combination, and finally, treatment of the solution of resulting sodium salt with calcium chloride solution to obtain the desired amorphous from of rosuvastatin calcium.

RX(1) OF 1



RX(1) OF 1



REF: Indian Pat. Appl., 2004MU00459, 27 Apr 2007
CON: STAGE(1) 25 - 35 deg C; 35 deg C -> 10 deg C; 30 minutes,
5 - 10 deg C; 10 deg C -> 35 deg C; 30 minutes,
30 - 35 deg C

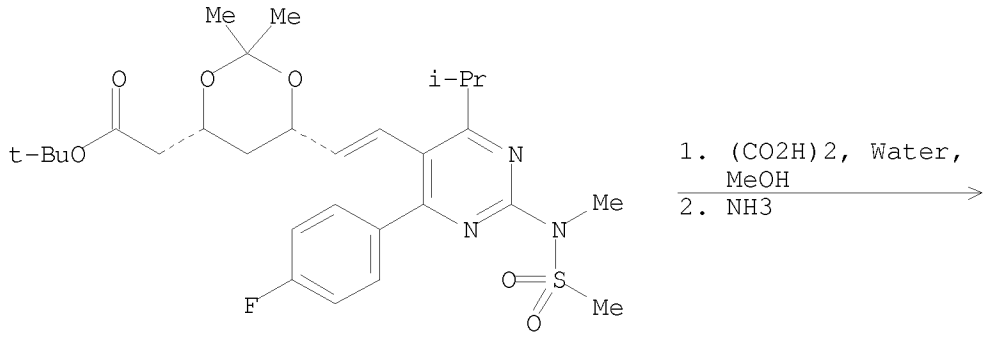
L5 ANSWER 7 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 147:322770 CASREACT
TI Process for preparing rosuvastatin calcium
IN Patel, Dhimant Jasubhai; Kumar, Rajiv; Dwivedi, Shri Prakash Dhar
PA Cadila Healthcare Limited, India
SO PCT Int. Appl., 19pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007099561	A1	20070907	WO 2007-IN83	20070226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2006MU00271	A	20071026	IN 2006-MU271	20060227
IN 234922	A1	20090710		

PRAI IN 2006-MU271 20060227

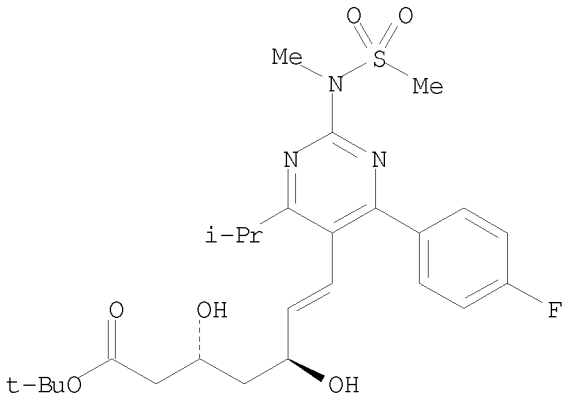
AB A process was disclosed for the preparation of highly pure amorphous rosuvastatin calcium I (R = R1 = H, R2 = CO2-.1/2Ca2+) substantially free of impurities as determined by HPLC. The process comprised deprotection of acetonide ester I (RR1 = CMe2, R2 = CO2CMe3) in MeOH using oxalic acid in H2O followed by treatment of the resulting diol ester I (R = R1 = H, R2 = CO2CMe3) with NaOH and H2O and HPLC to give the desired rosuvastatin calcium with ≥ 99.65% purity.

RX(1) OF 3



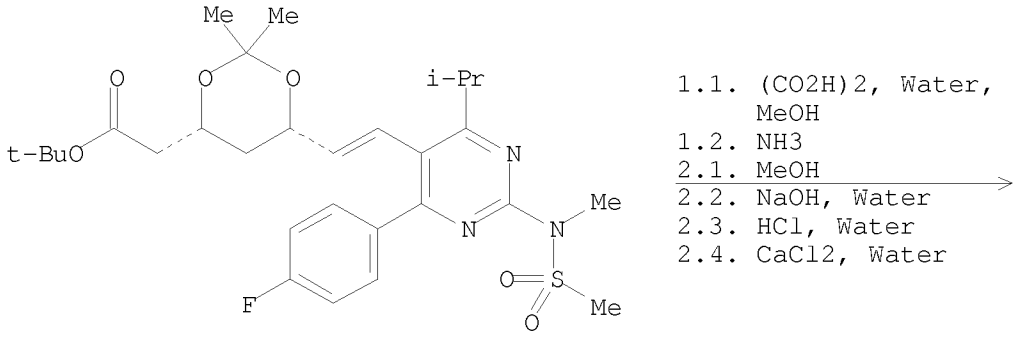
(step 1)

RX(1) OF 3

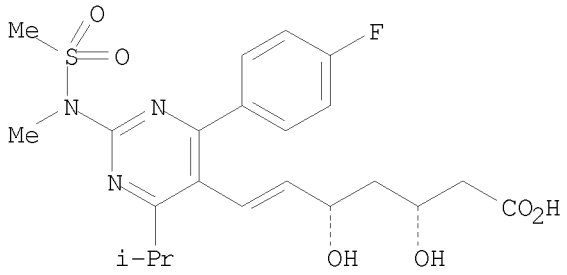


REF: PCT Int. Appl., 2007099561, 07 Sep 2007
CON: STAGE(1) 1 hour, 55 - 65 deg C; 65 deg C -> 35 deg C;
35 deg C -> 20 deg C
STAGE(2) 1 hour, pH 8 - 9

RX(3) OF 3 - 2 STEPS



RX(3) OF 3 - 2 STEPS



1/2 Ca

REF: PCT Int. Appl., 19pp.; 2007
CON: STEP(1.1) 1 hour, 55 - 65 deg C; 65 deg C -> 35 deg C;
35 deg C -> 20 deg C
STEP(1.2) 1 hour, pH 8 - 9
STEP(2.1) 35 deg C -> 25 deg C
STEP(2.2) 30 minutes, 20 - 25 deg C; 25 deg C -> 20 deg C
STEP(2.3) pH 7.5 - 8.5
STEP(2.4) 1 hour, 20 deg C -> 35 deg C

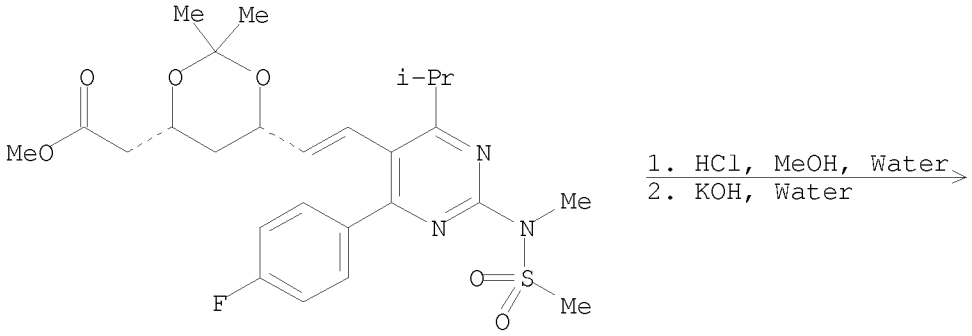
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 147:219926 CASREACT
TI Manufacturing rosuvastatin potassium
IN Patel, Dhimant Jasubhai; Kumar, Rajiv; Agarwal, Virendra Kumar
PA Cadila Healthcare Limited, India
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007086082	A2	20070802	WO 2007-IN37	20070125
	WO 2007086082	A3	20070920		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2007208965	A1	20070802	AU 2007-208965	20070125
	EP 1979330	A2	20081015	EP 2007-736510	20070125
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	JP 2009530232	T	20090827	JP 2008-551959	20070125
PRAI	IN 2006-MU1217	20060130			
	WO 2007-IN37	20070125			

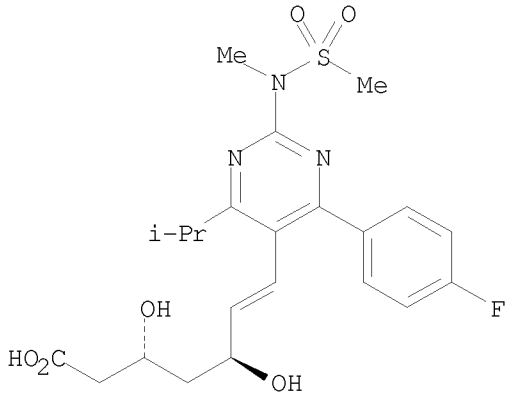
OS MARPAT 147:219926
AB A process of manufacturing of Rosuvastatin potassium is disclosed. The process comprises the steps of treating Rosuvastatin protected compound (I) with an HCl and then KOH in methanol to form Rosuvastatin potassium and then isolation.

RX(1) OF 1



(step 1)

RX(1) OF 1



K

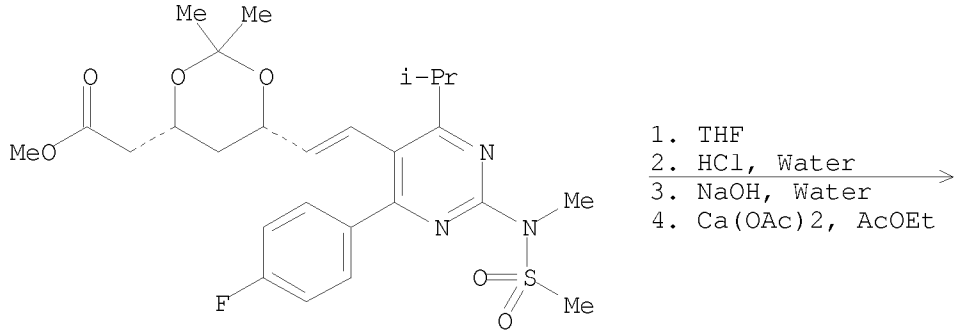
REF: PCT Int. Appl., 2007086082, 02 Aug 2007
CON: STAGE(1) room temperature -> 10 deg C; 20 minutes, 5 - 10 deg C; 15 minutes, 5 - 10 deg C; 10 deg C -> 35 deg C; 45 minutes
STAGE(2) 5 - 10 deg C; 5 - 10 deg C; 15 minutes, 5 - 10 deg C; 10 deg C -> 30 deg C; 30 minutes, 20 - 30 deg C

L5 ANSWER 9 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 146:121983 CASREACT
TI A method for the production of the hemi-calcium salt of rosuvastatin
IN Radl, Stanislav; Stach, Jan; Klvana, Robert; Jirman, Josef
PA Zentiva, A.S., Czech Rep.
SO PCT Int. Appl., 26pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007000121	A1	20070104	WO 2006-CZ39	20060608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CZ 299215	B6	20080521	CZ 2005-427	20050629
PRAI CZ 2005-427		20050629		

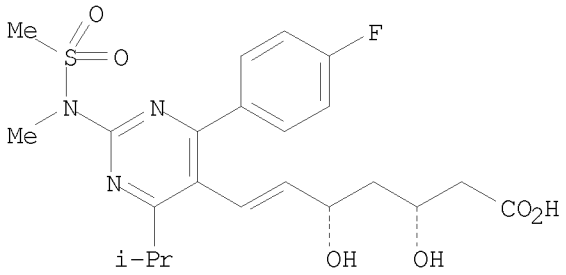
OS MARPAT 146:121983
AB This document discloses a method for the preparation of the hemi-calcium salt of rosuvastatin in the crystalline or amorphous solid state from a lactone or an ester or amide, e.g. I [X = O, amino; R = alkyl]. Thus, I [X = O; R = ethyl] 6 g in THF 35 mL was treated with 40% solution of NaOH (10 mL); the mixture was then poured into water 150 mL and hexane 50 mL in a separatory funnel; after complete separation, Et acetate 40 mL was added to the aqueous phase,
and calcium acetate 2 g was added; the mixture was stirred for 10 min and worked up to give the hemi-calcium salt of rosuvastatin (3.8 g).

RX(6) OF 22



(step 1)

RX(6) OF 22

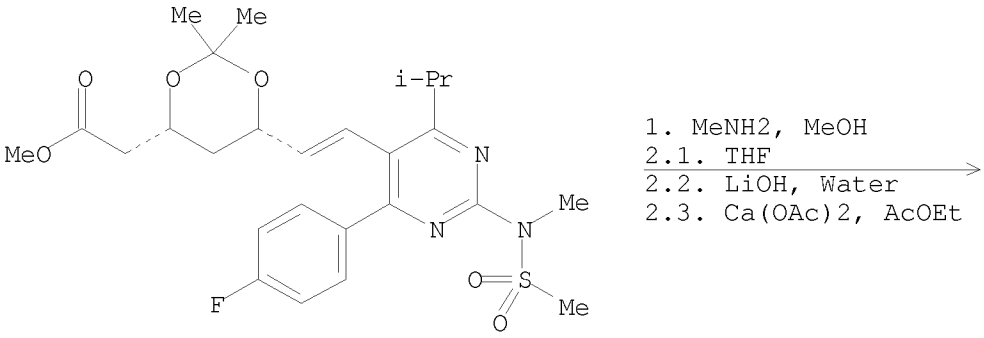


1/2 Ca

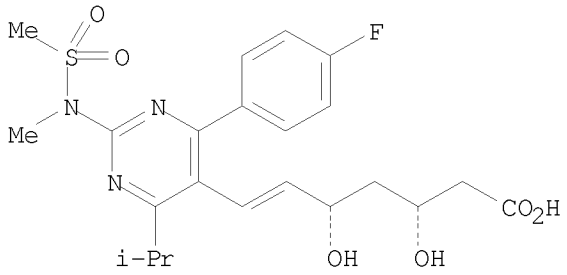
75%

REF: PCT Int. Appl., 2007000121, 04 Jan 2007
NOTE: alternative preparation shown
CON: STAGE(1) room temperature
STAGE(2) 24 hours, room temperature
STAGE(3) 5 minutes, room temperature; 17 hours, room temperature
STAGE(4) 10 minutes, room temperature

RX(14) OF 22 - 2 STEPS



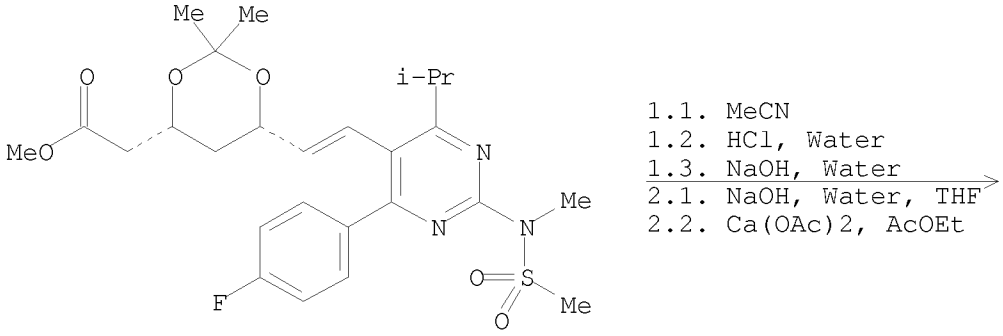
RX(14) OF 22 - 2 STEPS



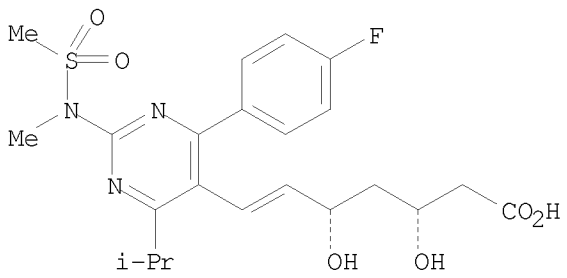
1/2 Ca

REF: PCT Int. Appl., 26pp.; 2007
NOTE: 1) alternative preparation shown, 2) alternative preparation shown
CON: STEP(1) 5 hours, 20 deg C
STEP(2.1) room temperature
STEP(2.2) 5 minutes, room temperature; 17 hours, 60 deg C
STEP(2.3) 10 minutes, room temperature

RX(16) OF 22 - 2 STEPS



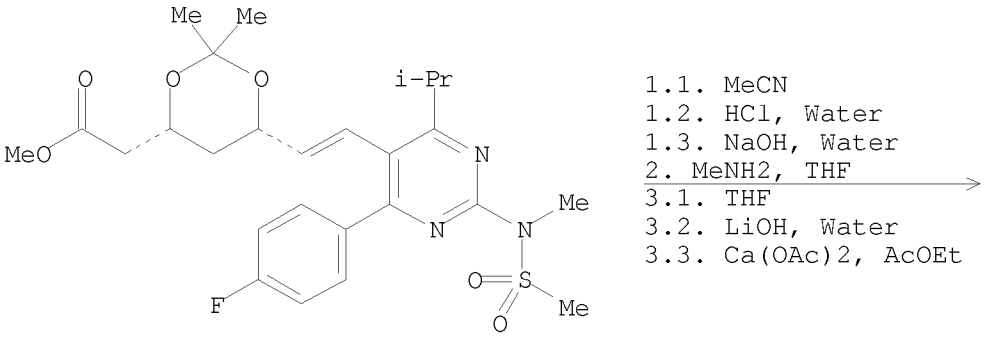
RX(16) OF 22 - 2 STEPS



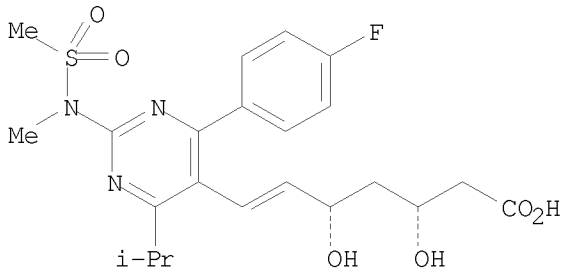
1/2 Ca
83%

REF: PCT Int. Appl., 26pp.; 2007
NOTE: 2) alternative preparation shown
CON: STEP(1.1) room temperature
STEP(1.2) 20 hours, room temperature
STEP(1.3) 5 minutes, room temperature; 17 hours, room temperature
STEP(2.1) 5 minutes, room temperature; 3 hours, room temperature
STEP(2.2) 10 minutes, room temperature

RX(21) OF 22 - 3 STEPS



RX(21) OF 22 - 3 STEPS



1/2 Ca

REF: PCT Int. Appl., 26pp.; 2007
NOTE: 2) alternative preparation shown, 3) alternative preparation shown
CON: STEP(1.1) room temperature
STEP(1.2) 20 hours, room temperature
STEP(1.3) 5 minutes, room temperature; 17 hours, room temperature
STEP(2) 4 hours, 20 deg C
STEP(3.1) room temperature
STEP(3.2) 5 minutes, room temperature; 17 hours, 60 deg C
STEP(3.3) 10 minutes, room temperature

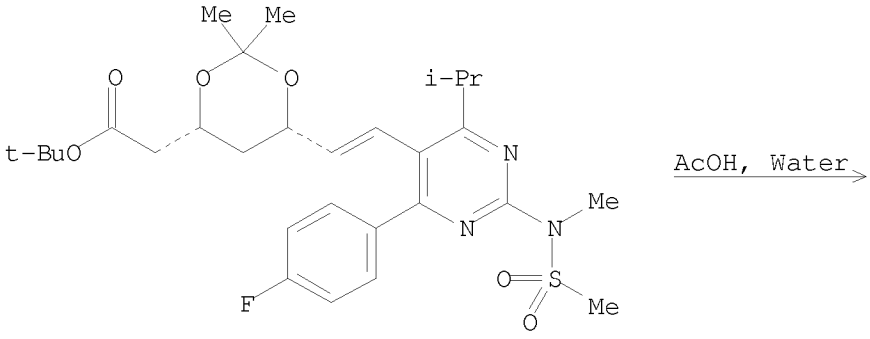
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 145:293078 CASREACT
TI Process for preparation of rosuvastatin calcium as HMG-CoA reductase inhibitor
IN Wang, Siqing; Wu, Bin; Xu, Shuxing
PA Yabang Chemical Group Co., Ltd., Peop. Rep. China; Changzhou Yabang Pharmaceutical Research Institute Co., Ltd.
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

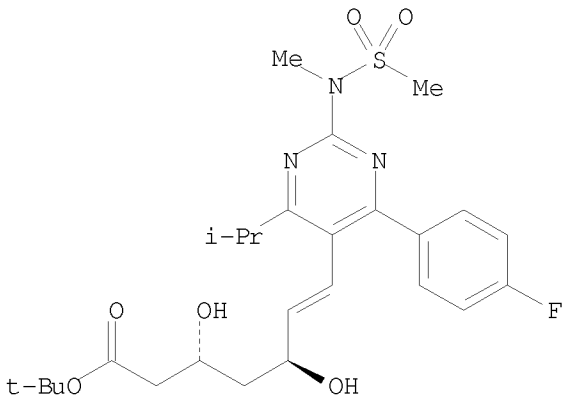
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1821242	A	20060823	CN 2006-10007556	20060216
PRAI CN 2006-10007556		20060216		

OS MARPAT 145:293078
AB This invention relates to a method for preparation of rosuvastatin calcium as HMG-CoA reductase inhibitor, which comprises oxidation, coupling, deprotection, and hydrolysis processes.

RX(5) OF 17



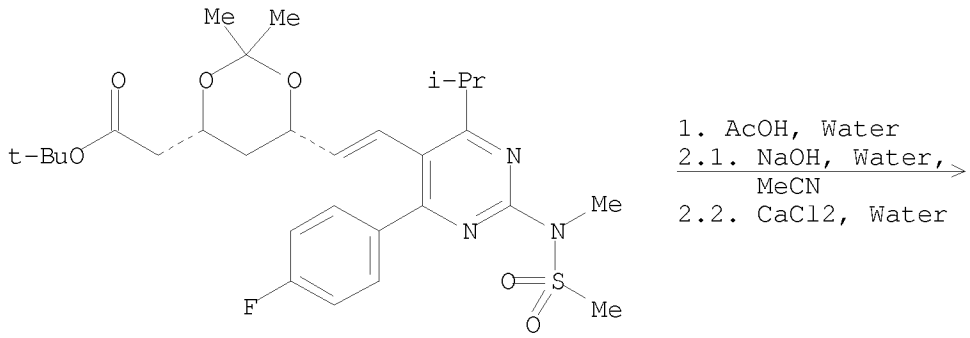
RX(5) OF 17



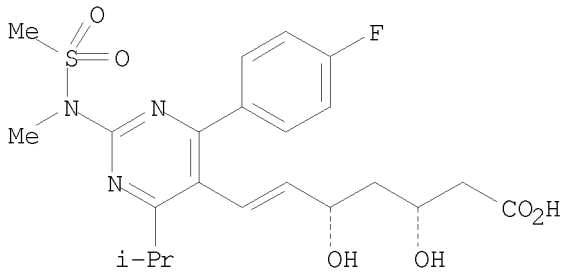
100%

REF: Faming Zhuanli Shenqing Gongkai Shuomingshu, 1821242, 23 Aug 2006
CON: 20 hours, room temperature

RX(9) OF 17 - 2 STEPS



RX(9) OF 17 - 2 STEPS



1/2 Ca

81%

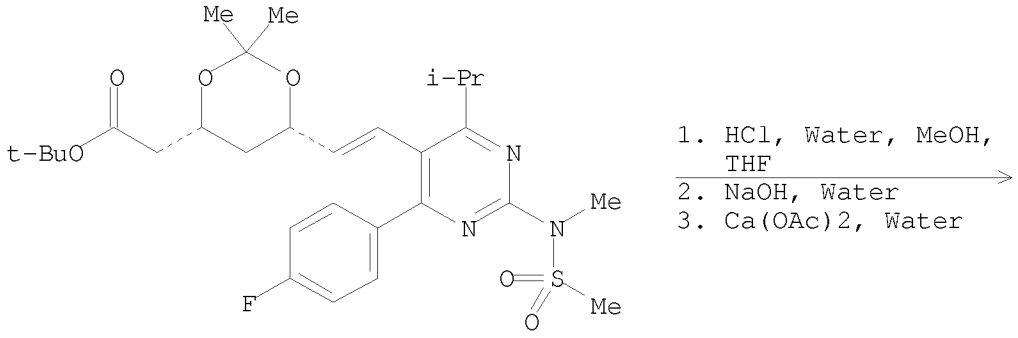
REF: Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.; 2006
CON: STEP(1) 20 hours, room temperature
STEP(2.1) 1 hour, room temperature
STEP(2.2) room temperature; 2 hours, room temperature

L5 ANSWER 11 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 145:188893 CASREACT
TI Preparation for rosuvastatin and its intermediates
IN Mei, Guangyao; Cai, Qingfeng
PA Zhejiang Hisun Pharmaceutical Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1687087	A	20051026	CN 2005-10069557	20050516
	CN 1307187	C	20070328		
PRAI	CN 2005-10069557		20050516		

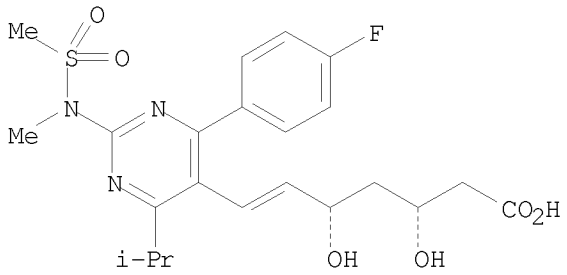
AB The title preparation includes reacting 2-(N-methylmethanesulfonylamino)-4-isopropyl-5-hydroxymethyl-6-(4-fluorophenyl)pyrimidine with tribromophosphine and further reacting with triphenylphosphine to generate the key ylide intermediate (compound 3); carrying out Wittig condensation between compound 3 and tert-Bu 2-((4R,6S)-6-formyl-2,2-dimethyl-1,3-dioxan-4-yl)acetate to generate a hydroxyl-protected tert-Bu ester of Rosuvastatin; deprotecting; hydrolyzing; and reacting with calcium acetate to obtain a Rosuvastatin half calcium salt at high yield. Rosuvastatin can be used to lower blood lipid levels.

RX(4) OF 10



(step 1)

RX(4) OF 10



1/2 Ca

REF: Faming Zhuanli Shenqing Gongkai Shuomingshu, 1687087, 26 Oct 2005

CON: STAGE(1) room temperature -> 35 deg C; 5 hours, 35 deg C
STAGE(2) 35 deg C; 60 minutes, 35 deg C; 35 deg C -> 20 deg C
STAGE(3) 30 minutes, 20 deg C

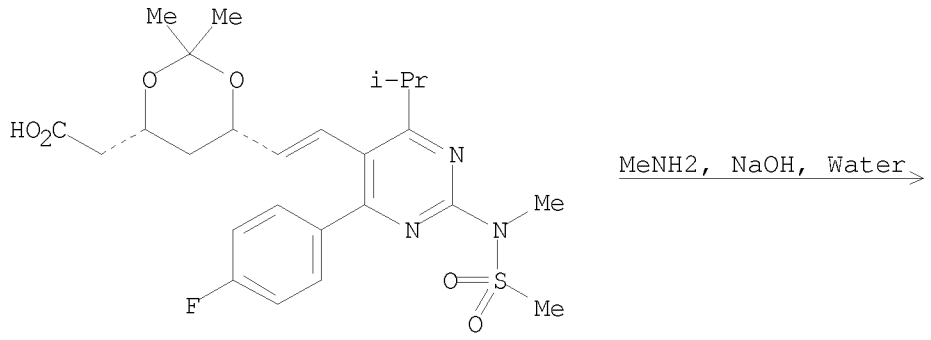
L5 ANSWER 12 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 145:103710 CASREACT
TI Process for the manufacture of (E)-7-[4-(4-fluorophenyl)-6-isopropyl-2-[methyl(methylsulfonyl)amino]pyrimidin-5-yl](3R,5S)-3,5-dihydroxyhept-6-enoic acid (rosuvastatin)
IN Butters, Michael; Lenger, Steven Robert; Murray, Paul Michael; Snape, Evan William
PA Astrazeneca UK Limited, UK
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006067456	A2	20060629	WO 2005-GB4999	20051222
WO 2006067456	A3	20060921		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005317880	A1	20060629	AU 2005-317880	20051222
AU 2005317880	B2	20090528		
CA 2589775	A1	20060629	CA 2005-2589775	20051222
CN 101084197	A	20071205	CN 2005-80044053	20051222
EP 1871747	A2	20080102	EP 2005-820940	20051222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2008525407	T	20080717	JP 2007-547647	20051222
BR 2005018647	A2	20081202	BR 2005-18647	20051222
NZ 555769	A	20100129	NZ 2005-555769	20051222
ZA 2007004535	A	20081126	ZA 2007-4535	20070531
NO 2007002872	A	20070917	NO 2007-2872	20070606
IN 2007DN04373	A	20070824	IN 2007-DN4373	20070608
US 20080207903	A1	20080828	US 2007-793418	20070620
MX 2007007777	A	20070814	MX 2007-7777	20070622
KR 2007092307	A	20070912	KR 2007-717101	20070724
PRAI GB 2004-28328	20041224			
WO 2005-GB4999	20051222			

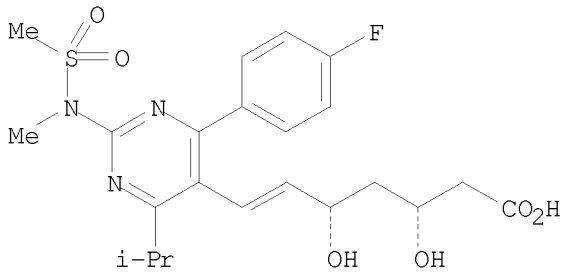
OS MARPAT 145:103710
AB The invention relates to a process for preparation of rosuvastatin [I; R = (E)-(3R,5S)-3,5-dihydroxyhept-6-enoic acid residue, R1 = MeSO2NMe] involving reaction of I (R is a leaving group, R1 is MeSO2NMe or a precursor) with a protected 3,5-dihydroxyhept-6-enoic acid derivative or related compound Thus, treatment of N-[5-bromo-4-(4-fluorophenyl)-6-isopropylpyrimidin-2-yl]-N-methylmethanesulfonamide with tert-Bu 2-[(4R,6S)-2,2-dimethyl-6-vinyl-1,3-dioxan-4-yl]acetate in aqueous DMF containing

bis(tri-tert-butylphosphine)palladium and N,N-dicyclohexylmethylamine afforded tert-Bu 2-[(4R,6S)-6-[(E)-2-[4-(4-fluorophenyl)-6-isopropyl-2-(N-methylmethanesulfonamido)pyrimidin-5-yl]vinyl]-2,2-dimethyl-1,3-dioxan-4-yl]acetate. The latter was converted into rosuvastatin calcium salt.

RX(5) OF 51



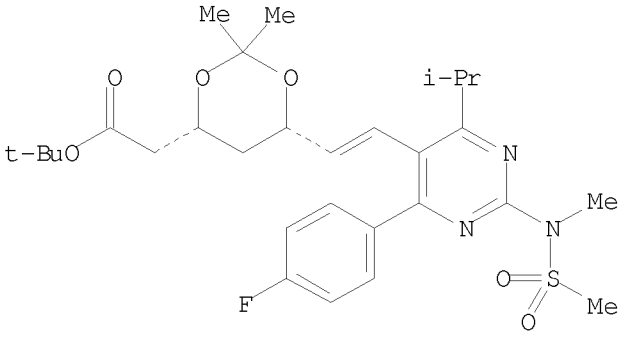
RX(5) OF 51



1/2 Ca

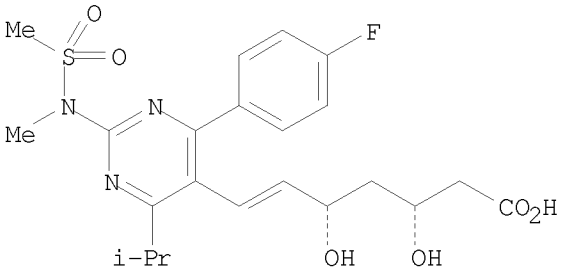
REF: PCT Int. Appl., 2006067456, 29 Jun 2006
CON: 1 hour, 20 deg C

RX(13) OF 51 - 2 STEPS



1.1. HCl, Water, MeCN
1.2. NaOH, Water
1.3. HCl, NaCl, Water
1.4. MeNH2, Water
2. NaOH, Water

RX(13) OF 51 - 2 STEPS



1/2 Ca

REF: PCT Int. Appl., 51 pp.; 2006
CON: STEP(1.1) 40 deg C; 30 minutes, 35 - 42 deg C; 3 hours, 40 deg C; 40 deg C -> 25 deg C
STEP(1.2) 1 hour, 25 deg C
STEP(1.3) 1 hour, 25 deg C -> -5 deg C; 5 minutes, -5 deg C, pH 3.4 - 4; 10 minutes, -5 deg C
STEP(1.4) -5 deg C; 40 minutes, -5 deg C -> 30 deg C; 90 minutes, 30 deg C; 40 minutes, 30 deg C -> 0 deg C; 90 minutes, 0 deg C
STEP(2) 1 hour, 20 deg C

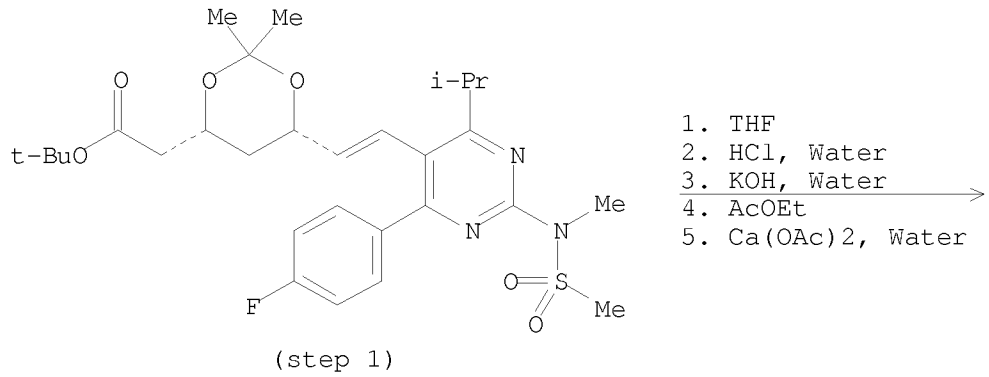
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 143:172682 CASREACT
TI A trans-salification method for the preparation of the rosuvastatin calcium from its potassium or sodium salt
IN Sebek, Pavel; Radl, Stanislav; Stach, Jan
PA Zentiva, A. S., Czech Rep.
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005068435	A1	20050728	WO 2004-CZ88	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1704144	A1	20060927	EP 2004-821059	20041217
EP 1704144	B1	20070207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
US 20070155765	A1	20070705	US 2007-585933	20070104

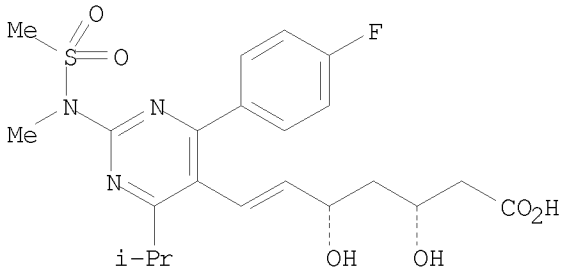
PRAI CZ 2004-86 20040116
WO 2004-CZ88 20041217
OS MARPAT 143:172682
AB Rosuvastatin calcium is prepared by extracting an aqueous solution of the sodium or potassium salt of rosuvastatin with an optional admixt. of sodium or potassium hydroxide or other sodium or potassium salts having inorg. anions with an organic solvent, incompletely miscible with water, selected from esters R1CO2R2, ketones R1COR2, and alcs. R1OH (R1, R2 = H, C1-10 aliphatic hydrocarbyl, C6 aryl, C5-6 cyclic hydrocarbyl) the extract being subsequently shaken with an aqueous solution of an inorg. or C1-5 organic calcium salt, and the product is further isolated by cooling and/or adding an anti-solvent and filtration, and optionally, is converted into its amorphous form.

RX(2) OF 4



(step 1)

RX(2) OF 4



1/2 Ca

REF: PCT Int. Appl., 2005068435, 28 Jul 2005
CON: STAGE(1) room temperature
STAGE(2) 24 hours, room temperature
STAGE(3) 5 minutes, room temperature; 17 hours, room temperature
STAGE(4) 17 hours, room temperature
STAGE(5) 17 hours, room temperature

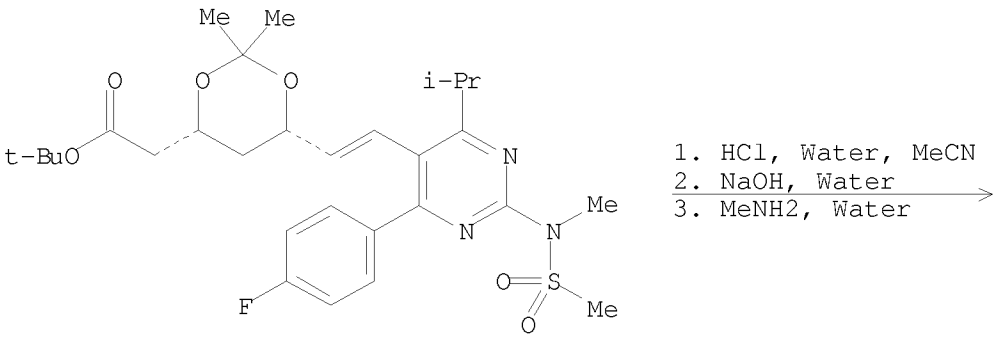
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 143:26633 CASREACT
TI An improved process for preparation of rosuvastatin derivatives, useful as HMG-CoA inhibitor
IN Joshi, Narendra; Bhirud, Shekhar Bhaskar; Chandrasekhar, Batchu; Rao, K. Eswara; Damle, Subhash
PA Glenmark Pharmaceuticals Limited, India
SO U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20050124639	A1	20050609	US 2004-4755	20041203
US 7312329	B2	20071225		
IN 2003MU01244	A	20060505	IN 2003-MU1244	20031204
WO 2005054207	A1	20050616	WO 2004-IB3962	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AR 47267	A1	20060111	AR 2004-104521	20041203

PRAI IN 2003-MU1244 20031204
US 2004-561732P 20040413
IN 2004-MU442 20040413
OS MARPAT 143:26633
AB The invention relates to a preparation of rosuvastatin derivs. of formula I [wherein: R1 is alkyl, aryl, or arylalkyl; R2 and R3 are independently H or hydrocarbon; R4 is H, alkyl, or a cation capable of forming a non-toxic pharmaceutically acceptable salt; each R5 are independently H or a protecting group, etc.; Z is S, O, sulfonyl, or imino, etc.] from a Wittig reagent of formula II•X- (R is alkyl, aryl, or arylalkyl; , X- is a halogen) and aldehyde of formula III. No biol. data was reported. For instance, rosuvastatin derivative IV was prepared via Wittig reaction from aldehyde V and ylide VI with a yield of 88-90%.

RX(4) OF 17

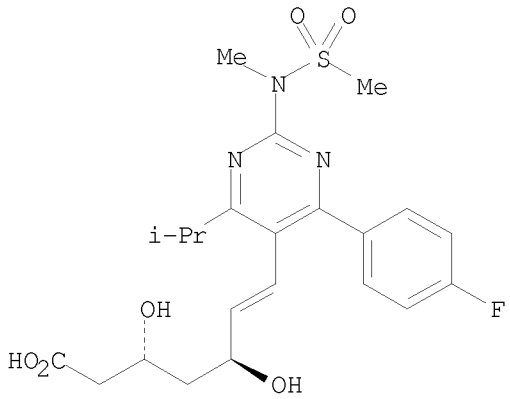


(step 1)

H_3C-NH_2 +

84%

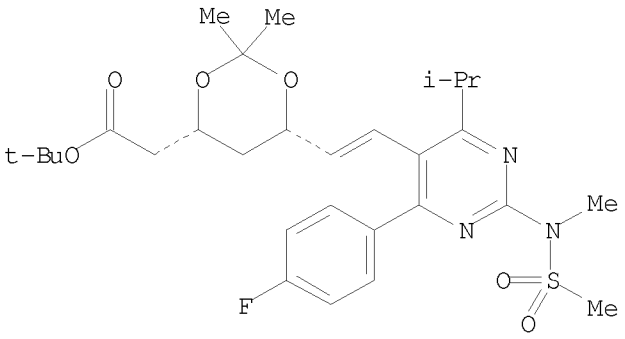
RX(4) OF 17



84%

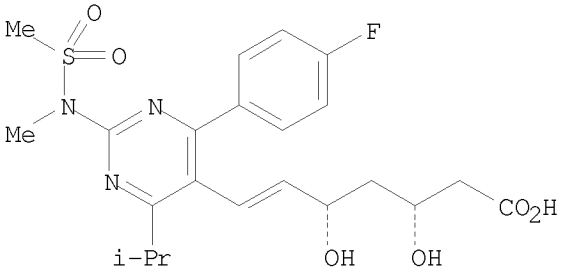
REF: U.S. Pat. Appl. Publ., 20050124639, 09 Jun 2005
NOTE: workup, industrial scale
CON: STAGE(1) 40 deg C; 30 minutes, 35 - 40 deg C; 3 hours, 40 deg C; 40 deg C -> 25 deg C
STAGE(2) 1 hour, 25 deg C
STAGE(3) -5 deg C; 40 minutes, -5 deg C -> 30 deg C; 90 minutes, 30 deg C; 40 minutes, 30 deg C -> 0 deg C; 90 minutes, 0 deg C

RX(10) OF 17 - 2 STEPS



1.1. HCl, Water, MeCN
1.2. NaOH, Water
1.3. MeNH2, Water
2.1. NaOH, Water
2.2. CaCl2, Water

RX(10) OF 17 - 2 STEPS



1/2 Ca
84%

REF: U.S. Pat. Appl. Publ., 15 pp.; 2005
NOTE: 1) workup, industrial scale, 2) industrial scale
CON: STEP(1.1) 40 deg C; 30 minutes, 35 - 40 deg C; 3 hours, 40 deg C; 40 deg C -> 25 deg C
STEP(1.2) 1 hour, 25 deg C
STEP(1.3) -5 deg C; 40 minutes, -5 deg C -> 30 deg C; 90 minutes, 30 deg C; 40 minutes, 30 deg C -> 0 deg C; 90 minutes, 0 deg C
STEP(2.1) 20 deg C; 1 hour, 20 deg C
STEP(2.2) 20 deg C; 45 minutes, 20 deg C

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 15 CASREACT COPYRIGHT 2010 ACS on STN
AN 142:56338 CASREACT
TI An improved production of calcium salt of rosuvastatin, useful in the treatment of hypercholesterolemia, hyperlipoproteinemia, and atherosclerosis
IN Crabb, Jeffrey Norman; Horbury, John; Taylor, Nigel Philip
PA Astrazeneca UK Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English

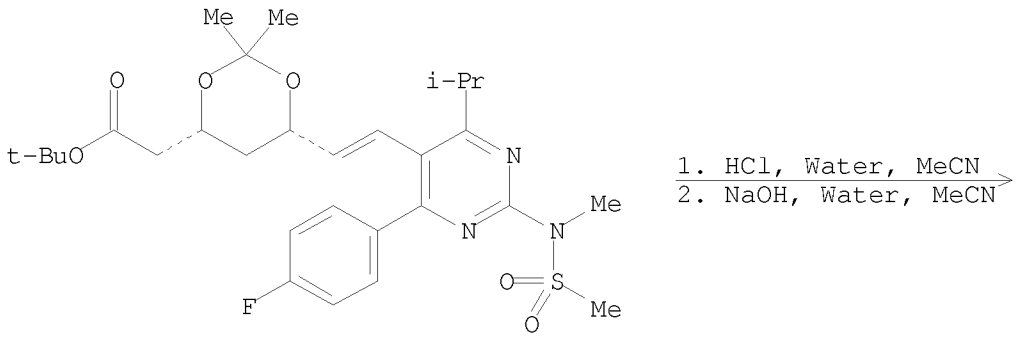
claims 1-5 are entitled to the priority date of 10/24/03

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004108691	A1	20041216	WO 2004-GB2373	20040603
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004245291	A1	20041216	AU 2004-245291	20040603
	AU 2004245291	B2	20080214		
	CA 2527314	A1	20041216	CA 2004-2527314	20040603
	EP 1633727	A1	20060315	EP 2004-735910	20040603
	EP 1633727	B1	20100414		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004010922	A	20060627	BR 2004-10922	20040603
	CN 1798741	A	20060705	CN 2004-80015482	20040603
	CN 100422157	C	20081001		
	JP 2006526602	T	20061124	JP 2006-508394	20040603
	NZ 543962	A	20080926	NZ 2004-543962	20040603
	RU 2361864	C2	20090720	RU 2005-138370	20040603
	AT 464297	T	20100415	AT 2004-735910	20040603
	ES 2341858	T3	20100629	ES 2004-735910	20040603
	ZA 2005009539	A	20070926	ZA 2005-9539	20051124
	IN 2005DN05419	A	20071130	IN 2005-DN5419	20051124
	IN 238747	A1	20100226		
	NO 2005005730	A	20051227	NO 2005-5730	20051205
	MX 2005013128	A	20060316	MX 2005-13128	20051205
	US 20080221323	A1	20080911	US 2008-558390	20080229
PRAI	GB 2003-12896	20030605			
	GB 2003-24793	20031024			
	WO 2004-GB2373	20040603			

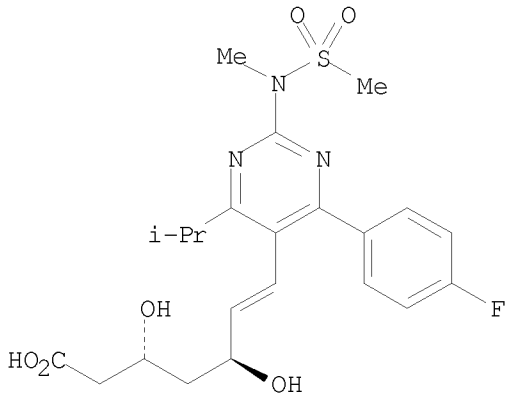
AB The invention relates to an improved preparation of calcium salt of rosuvastatin of formula I•Ca, useful in the treatment of hypercholesterolemia, hyperlipoproteinemia, and atherosclerosis (no biol. data). For instance, I•Ca was prepared from [1,3]dioxanylacetate derivative II with a yield of 85%.

RX(1) OF 4



(step 1)

RX(1) OF 4



Ca

85%

REF: PCT Int. Appl., 2004108691, 16 Dec 2004
NOTE: workup
CON: STAGE(1) 40 deg C -> 35 deg C; 35 deg C; 35 deg C;
35 deg C -> 25 deg C
STAGE(2) 25 deg C; 25 deg C

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   226.21     226.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -12.00     -12.00

STN INTERNATIONAL LOGOFF AT 09:35:31 ON 29 JUL 2010
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